10/057,630

```
     (FILE 'HOME' ENTERED AT 13:40:52 ON 24 AUG 2004)

     FILE 'REGISTRY' ENTERED AT 13:41:10 ON 24 AUG 2004
                E NIMESULIDE/CN
L1              1 S E3
                E OXYCODONE/CN
                E OXYCODONE/CN
L2              1 S E3

     FILE 'CAPLUS, EMBASE, BIOSIS, MEDLINE, WPIDS, USPAT2, USPATFULL,
     ADISNEWS, ANABSTR, BIOBUSINESS, BIOTECHNO, CANCERLIT, CAOLD' ENTERED AT
     13:47:15 ON 24 AUG 2004
L3             30 S L1 AND L2
L4             28 DUP REM L3 (2 DUPLICATES REMOVED)
L5           4146 S L1
L6           1889 S L5 AND (CYCLOOXYGEN? OR COX?)
L7            469 S L6 AND (PAIN OR ANALGES?)
L8          97434 S (NON-STEROIDAL) OR NSAID?
L9           1587 S L5 AND L8
L10       1219388 S L9 AND ANALGES? OR PAIN?
L11           738 S L1 AND IBUPROFEN?
L12           250 S L10 AND L11
L13           222 DUP REM L12 (28 DUPLICATES REMOVED)

     FILE 'STNGUIDE' ENTERED AT 14:06:01 ON 24 AUG 2004

     FILE 'CAPLUS, EMBASE, BIOSIS, MEDLINE, WPIDS' ENTERED AT 14:06:27 ON 24
     AUG 2004
L14          1169 S (BURCH, R? OR BURCH R?)/AU,IN
L15           107 S (SACKLER, R? OR SACKLER R?)/AU,IN
L16           226 S (GOLDENHEIM, P? OR GOLDENHEIM P?)/AU,IN
L17          1449 S L14 OR L15 OR L16
L18             1 S L1 AND L17
L19            18 S L2 AND L17
L20            11 DUP REM L19 (7 DUPLICATES REMOVED)

=>
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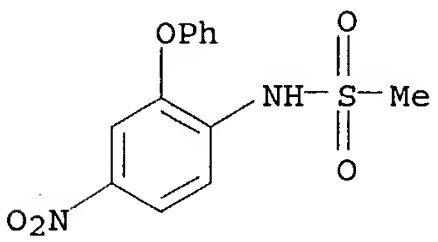
L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 51803-78-2 REGISTRY
CN Methanesulfonamide, N-(4-nitro-2-phenoxyphenyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Phenoxy-4-nitromethanesulfonanilide
CN 4'-Nitro-2'-phenoxymethanesulfonanilide
CN 4-Nitro-2-phenoxymethanesulfonanilide
CN Aulin
CN Flogovital
CN Mesulid
CN Nimed
CN Nimepast
CN **Nimesulide**
CN Nimulid
CN Nise*Gel
CN Nisulid
CN Orthobid
CN R 805
CN R 805 (pharmaceutical)
FS 3D CONCORD
MF C13 H12 N2 O5 S
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DETHERM*, DRUGU, EMBASE, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PROMT, PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)
DT.CA CAplus document type: Book; Conference; Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)
RLD.NP Roles for non-specific derivatives from non-patents: BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)



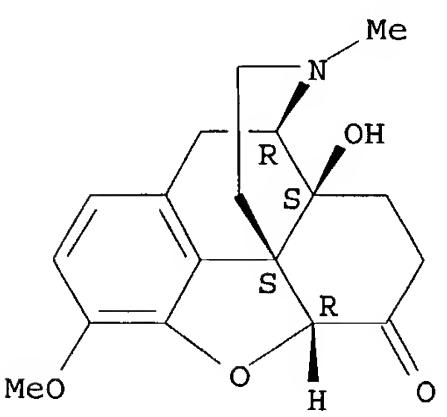
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

833 REFERENCES IN FILE CA (1907 TO DATE)
23 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
839 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=>

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 76-42-6 REGISTRY
CN Morphinan-6-one, 4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5α)-
(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Codeinone, 7,8-dihydro-14-hydroxy- (6CI, 7CI)
CN Morphinan-6-one, 4,5α-epoxy-14-hydroxy-3-methoxy-17-methyl- (8CI)
OTHER NAMES:
CN (-)-Oxycodone
CN 14-Hydroxydihydrocodeinone
CN 3-O-(Methyl)oxymorphone
CN 6-Oxo-14-hydroxy-7,8-dihydrocodeine
CN 7,8-Dihydro-14-hydroxycodeinone
CN Dihydro-14-hydroxycodeinone
CN Dihydrohydroxycodeinone
CN Dihydrone
CN NSC 19043
CN Oxanest
CN Oxicon
CN Oxycodeinone
CN **Oxycodone**
CN Oxymorphone 3-methyl ether
FS STEREOSEARCH
MF C18 H21 N O4
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, DDFU, DIOGENES, DRUGU, EMBASE, GMELIN*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PHAR, PROMT, PROUSDDR, PS, RTECS*, SPECINFO, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)
DT.CA CAplus document type: Conference; Journal; Patent
RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); MSC (Miscellaneous); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)
RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); OCCU (Occurrence); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)
RLD.NP Roles for non-specific derivatives from non-patents: ANST (Analytical study); BIOL (Biological study)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

747 REFERENCES IN FILE CA (1907 TO DATE)
15 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
752 REFERENCES IN FILE CAPLUS (1907 TO DATE)
32 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=>

L4 ANSWER 27 OF 28 EMBASE COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN
AN 95328094 EMBASE
DN 1995328094
TI Use of nonsteroidal anti-inflammatory drugs in cancer.
AU Pace V.
CS St Christopher's Hospice, 51-59 Lawrie Park Road,Sydenham, London SE26 6DZ, United Kingdom
SO Palliative Medicine, (1995) 9/4 (273-286).
ISSN: 0269-2163 CODEN: PAMDE2
CY United Kingdom
DT Journal; General Review
FS 016 Cancer
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
LA English
SL English; French
AB Nonsteroidal anti-inflammatory drugs (NSAIDs) are widely used in cancer, yet they are also responsible for many, often serious, adverse effects. This review examines the various mechanisms through which NSAIDs work. It looks at the experience built up in using NSAIDs in cancer pain in general, but then particularly examines whether the evidence available supports the claim often made that these drugs have a specific role in relief of pain from bony metastases. Criteria for choosing one NSAID over another, including adverse effect profiles, efficacy and tolerability, are considered, as are methods for improving the safe use of these drugs.
CT Medical Descriptors:
*cancer chemotherapy
*cancer pain: DT, drug therapy
*cancer palliative therapy
adverse drug reaction: SI, side effect
agranulocytosis: SI, side effect
analgesia
bone metastasis: DT, drug therapy
bone pain: DT, drug therapy
breast cancer: DT, drug therapy
clinical trial
colitis: SI, side effect
drug choice
drug efficacy
drug half life
drug mechanism
enteritis: SI, side effect
esophagitis: SI, side effect
gastrointestinal hemorrhage: SI, side effect
gastrointestinal symptom: SI, side effect
human
intestine perforation: SI, side effect
intramuscular drug administration
intravenous drug administration
kidney failure: SI, side effect
liver dysfunction: SI, side effect
neurotoxicity: SI, side effect
neutrophil
neutrophil chemotaxis
oral drug administration
proctitis: SI, side effect
prostaglandin synthesis inhibition
protein losing gastroenteropathy: SI, side effect
rectal drug administration
review
rheumatoid arthritis: DT, drug therapy

stomach ulcer: DT, drug therapy
stomach ulcer: SI, side effect
stomach ulcer: PC, prevention
subcutaneous drug administration
topical drug administration
ulcer perforation: SI, side effect
Drug Descriptors:
*nonsteroid antiinflammatory agent: CT, clinical trial
*nonsteroid antiinflammatory agent: CM, drug comparison
*nonsteroid antiinflammatory agent: DT, drug therapy
*nonsteroid antiinflammatory agent: PK, pharmacokinetics
*nonsteroid antiinflammatory agent: PD, pharmacology
*nonsteroid antiinflammatory agent: AE, adverse drug reaction
acetylsalicylic acid: CB, drug combination
acetylsalicylic acid: DT, drug therapy
acetylsalicylic acid: CM, drug comparison
benorilate: CT, clinical trial
benorilate: DT, drug therapy
caffeine: CB, drug combination
caffeine: CM, drug comparison
calcitonin: CT, clinical trial
calcitonin: DT, drug therapy
diclofenac: DT, drug therapy
diclofenac: CT, clinical trial
flurbiprofen: CT, clinical trial
flurbiprofen: DT, drug therapy
ibuprofen: DT, drug therapy
ibuprofen: CT, clinical trial
indometacin: CT, clinical trial
indometacin: DT, drug therapy
indoprofen: DT, drug therapy
indoprofen: CT, clinical trial
indoprofen: PK, pharmacokinetics
ketoprofen: DT, drug therapy
ketoprofen: CT, clinical trial
ketorolac: DT, drug therapy
ketorolac: CT, clinical trial
misoprostol: DT, drug therapy
mithramycin: DT, drug therapy
mithramycin: CT, clinical trial
nabumetone: PK, pharmacokinetics
naproxen: CT, clinical trial
naproxen: PK, pharmacokinetics
naproxen: DT, drug therapy
nimesulide: CT, clinical trial
nimesulide: DT, drug therapy
opiate: CM, drug comparison
opiate: CB, drug combination
oxycodone: CM, drug comparison
oxycodone: CB, drug combination
phenacetin: CM, drug comparison
phenacetin: CB, drug combination
piroxicam: CT, clinical trial
piroxicam: DT, drug therapy
pirprofen: DT, drug therapy
pirprofen: CT, clinical trial
prostaglandin: EC, endogenous compound
sucralfate: DT, drug therapy
sulindac: DT, drug therapy
sulindac: CT, clinical trial
suprofen: DT, drug therapy
suprofen: CT, clinical trial
zomepirac: DT, drug therapy
zomepirac: CT, clinical trial

RN (acetylsalicylic acid) 493-53-8, 50-78-2, 53663-74-4, 53664-49-6, 63781-77-1; (benorilate) 5003-48-5; (caffeine) 30388-07-9, 58-08-2; (calcitonin) 12321-44-7, 21215-62-3, 9007-12-9; (diclofenac) 15307-79-6, 15307-86-5; (flurbiprofen) 5104-49-4; (ibuprofen) 15687-27-1; (indometacin) 53-86-1, 74252-25-8, 7681-54-1; (indoprofen) 31842-01-0; (ketoprofen) 22071-15-4, 57495-14-4; (ketorolac) 74103-06-3; (misoprostol) 59122-46-2, 59122-48-4; (mithramycin) 18378-89-7; (nabumetone) 42924-53-8; (naproxen) 22204-53-1, 26159-34-2; (nimesulide) **51803-78-2**; (opiate) 53663-61-9, 8002-76-4, 8008-60-4; (oxycodone) 124-90-3, **76-42-6**; (phenacetin) 62-44-2; (piroxicam) 36322-90-4; (pirprofen) 31793-07-4; (sucralfate) 54182-58-0; (sulindac) 38194-50-2; (suprofen) 40828-46-4; (zomepirac) 33369-31-2, 64092-48-4

L4 ANSWER 28 OF 28 EMBASE COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED. on STN

AN 96037114 EMBASE

DN 1996037114

TI [Low back pain].
LOMBALGIAS E LOMBOCIATALGIAS.

AU Figueira Antonio S.; Szajubok J.C.M.; Habib Chahada W.

CS Servico de Reumatologia, Hosp. do Servidor Publico Estadual, Francisco Morato de Oliveira,Sao Paulo, Brazil

SO Revista Brasileira de Medicina, (1995) 52/SPEC. ISS. (85-102).
ISSN: 0034-7264 CODEN: RBMEAU

CY Brazil

DT Journal; General Review

FS 008 Neurology and Neurosurgery
009 Surgery
024 Anesthesiology
037 Drug Literature Index

LA Portuguese

CT Medical Descriptors:
*low back pain: DI, diagnosis
*low back pain: DT, drug therapy
*low back pain: SU, surgery
*low back pain: EP, epidemiology
chronic pain: DI, diagnosis
chronic pain: DT, drug therapy
chronic pain: EP, epidemiology
human
pain: DI, diagnosis
pain: DT, drug therapy
pain: EP, epidemiology
review
Drug Descriptors:
*analgesic agent: DT, drug therapy
*muscle relaxant agent: DT, drug therapy
*nonsteroid antiinflammatory agent: DT, drug therapy
*opiate: DT, drug therapy
*tricyclic antidepressant agent: DT, drug therapy
benzodiazepine: DT, drug therapy
carisoprodol: DT, drug therapy
codeine: DT, drug therapy
cyclobenzaprine: DT, drug therapy
diclofenac: DT, drug therapy
glucametacin: DT, drug therapy
ketoprofen: DT, drug therapy
nabumetone: DT, drug therapy
naproxen: DT, drug therapy
nimesulide: DT, drug therapy
oxycodone: DT, drug therapy
paracetamol: DT, drug therapy
pethidine: DT, drug therapy
piroxicam: DT, drug therapy

tenoxicam: DT, drug therapy
tizanidine: DT, drug therapy

RN (muscle relaxant agent) 9008-44-0; (opiate) 53663-61-9, 8002-76-4, 8008-60-4; (benzodiazepine) 12794-10-4; (carisoprodol) 78-44-4; (codeine) 76-57-3; (cyclobenzaprine) 303-53-7, 6202-23-9; (diclofenac) 15307-79-6, 15307-86-5; (glucametacin) 52443-21-7; (ketoprofen) 22071-15-4, 57495-14-4; (nabumetone) 42924-53-8; (naproxen) 22204-53-1, 26159-34-2; (nimesulide) **51803-78-2**; (oxycodone) 124-90-3, **76-42-6** ; (paracetamol) 103-90-2; (pethidine) 28097-96-3, 50-13-5, 57-42-1; (piroxicam) 36322-90-4; (tenoxicam) 59804-37-4; (tizanidine) 51322-75-9, 64461-82-1

=>

L6 ANSWER 1889 OF 1889 CANCERLIT on STN
AN 96181609 CANCERLIT
DN 96181609 PubMed ID: 8601574
TI Suppression of azoxymethane-induced aberrant crypt foci in rat colon by nimesulide, a selective inhibitor of **cyclooxygenase** 2.
AU Takahashi M; Fukutake M; Yokota S; Ishida K; Wakabayashi K; Sugimura T
CS Biochemistry Division, National Cancer Center Research Institute, Tokyo, Japan.
SO JOURNAL OF CANCER RESEARCH AND CLINICAL ONCOLOGY, (1996) 122 (4) 219-22.
Journal code: 7902060. ISSN: 0171-5216.
CY GERMANY: Germany, Federal Republic of
DT Journal; Article; (JOURNAL ARTICLE)
LA English
FS MEDLINE; Priority Journals
OS MEDLINE 96181609
EM 199605
ED Entered STN: 19960604
Last Updated on STN: 19960604
AB Non-steroidal anti-inflammatory drugs, such as piroxicam and sulindac, are known to inhibit development of aberrant crypt foci (ACF) and cancer in the colon. However, these agents cause gastrointestinal side-effects. Nimesulide is a selective inhibitor of **cyclooxygenase** 2 and has been shown to have a more potent anti-inflammatory action than piroxicam, but be less ulcerogenic and, therefore, a potentially more useful chemopreventive agent. To assess this possibility the inhibitory effects of nimesulide on the formation of ACF induced by azoxymethane in rat colon were investigated, and compared with those of piroxicam and sulindac. Male F344 rats were treated s.c. with 15 mg/kg body weight azoxymethane once a week for 2 weeks and given 50, 100 or 200 ppm nimesulide, 200 ppm piroxicam, or 200 ppm sulindac in their diet from the day before the first carcinogen treatment until the end of the experiment at week 4. At this time, nimesulide at doses of 50, 100 and 200 ppm had reduced the numbers of azoxymethane-induced ACF to 75%, 71% and 65% respectively compared to the control. The number of azoxymethane-induced ACF per colon in the group given 200 ppm nimesulide was almost the same as in those given 200 piroxicam, and lower than that in the group given 200 ppm sulindac. These results suggest that nimesulide, a selective **cyclooxygenase** 2 inhibitor, warrants attention as a candidate for chemopreventive agent with low toxicity, active against colon carcinogenesis.

L9 ANSWER 1586 OF 1587 CANCERLIT on STN
AN 91122395 CANCERLIT
DN 91122395 PubMed ID: 2279605
TI [Nimesulide and algo-edematous pathology of the oral cavity].
Nimesulide e patologia algo-edemigena del cavo orale.
AU De Francesco G; Palattella D
CS Ospedale Regionale G. Eastman Roma.
SO DENTAL CADMOS, (1990 Oct 31) 58 (16) 56-7, 61-4.
Journal code: 0370660. ISSN: 0011-8524.
CY Italy
DT (CLINICAL TRIAL)
Journal; Article; (JOURNAL ARTICLE)
LA Italian
FS MEDLINE; Dental Journals
OS MEDLINE 91122395
EM 199103
ED Entered STN: 19941107
Last Updated on STN: 19941107
AB Nimesulide has been tested by the Authors on a group of 40 adults patients of both sexes that had undergone oral surgery. After careful clinical observation it was established that this drug has an excellent analgesic effect and is also effective as an antiedemigen and antiflogistic therapy. Furthermore the total tolerability of Nimesulide was established after noting the absence of gastroenteric or allergy symptoms.

L13 ANSWER 221 OF 222 EMBASE COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED. on STN
AN 85005943 EMBASE
DN 1985005943
TI Preclinical pharmacological studies with nimesulide.
AU Swingle K.F.; Moore G.G.I.
CS Riker Laboratories, 3M Company, St. Paul, MN, United States
SO Drugs under Experimental and Clinical Research, (1984) 10/8-9 (587-597).
CODEN: DECRDP
CY Switzerland
DT Journal
FS 037 Drug Literature Index
030 Pharmacology
LA English
AB Nimesulide is a new nonsteroidal anti-inflammatory drug (**NSAID**) which is chemically different from other drugs of this class because its functional acidic group is sulfonanilide. It has three to four times the potency of indomethacin in conventional anti-inflammatory assays in rodents. It possesses **analgesic** and antipyretic activities. Compared with other **NSAIDs** nimesulide has an extremely favourable therapeutic ratio in rats and has minimal acute gastrointestinal toxicity in rats and pigs. Its relatively weak inhibition of prostaglandin synthetase in vitro suggests that the molecule is either activated in vivo or possesses additional mechanisms of anti-inflammatory action. The unique potency conferred on the molecule by the 4-nitro substituent leads the authors to speculate that metabolic activation involves reduction of this group.

L13 ANSWER 219 OF 222 EMBASE COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED. on STN DUPLICATE 23
AN 89002886 EMBASE
DN 1989002886
TI Nimesulide: A preliminary review of its pharmacological properties and therapeutic efficacy in inflammation and **pain** states.
AU Ward A.; Brogden R.N.
CS ADIS Drug Information Services, Auckland 10, New Zealand
SO Drugs, (1988) 36/6 (732-753).
ISSN: 0012-6667 CODEN: DRUGAY
CY Australia
DT Journal
FS 002 Physiology
031 Arthritis and Rheumatism
030 Pharmacology
037 Drug Literature Index
LA English
SL English
AB Nimesulide is a new **non-steroidal** anti-inflammatory **analgesic** agent given orally or rectally on a twice daily basis in a number of inflammatory and **pain** states. Although still at an early stage of clinical assessment, preliminary evidence suggests that nimesulide 200 to 400 mg daily is significantly more effective than placebo in reducing the **pain**, fever and inflammatory symptoms of chronic rheumatoid arthritis or osteoarthritis, respiratory tract infections, otorhinolaryngological diseases, soft tissue and oral cavity inflammation, dysmenorrhoea, phlebitis/thrombosis, urogenital disease and postoperative **pain** states. In a number of comparative studies, nimesulide has also been shown to be more effective than piroxicam (in osteoarthritis), paracetamol (acetaminophen) [in respiratory tract inflammation], benzydamine or naproxen (in otorhinolaryngological disease), phenylprenazone (in laryngotracheitis/bronchitis, respiratory inflammation and otorhinolaryngological disease), Serratia peptidases (in postoperative or dental **pain**, trauma and phlebitis), ketoprofen (in postoperative dental **pain**) and mefenamic acid (in dysmenorrhoea). In addition, the efficacy of nimesulide has been observed to be comparable with that of aspirin, with or without vitamin C, and mefenamic acid (in respiratory tract infection), **ibuprofen** (in soft tissue disease), naproxen (in respiratory tract inflammation, dysmenorrhoea and postoperative **pain** states), suprofen and paracetamol (in postoperative **pain** states), benzydamine (in genitourinary tract inflammation) and dipyrone, paracetamol or diclofenac (in fever). The safety profile of nimesulide has yet to be fully established, although initial evidence suggests the usual adverse effects associated with **non-steroidal** anti-inflammatory drugs occur, possibly with a lower incidence of gastrointestinal problems than with other members in its therapeutic class. Nimesulide, therefore, appears to offer a useful alternative to other **non-steroidal** anti-inflammatory drugs in the treatment of patients with inflammatory conditions and/or **pain** and fever states. However, further definition of its efficacy and tolerability is clearly required, particularly in comparison with established or other new drugs in its therapeutic class.

L13 ANSWER 208 OF 222 EMBASE COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED. on STN
AN 96302833 EMBASE
DN 1996302833
TI Nimesulide: A selective cyclooxygenase 2 inhibitor antiinflammatory drug.
AU Rabasseda X.
CS Med. Information/Documentation Dept., Prous Science, P.O. Box 540,08080 Barcelona, Spain
SO Drugs of Today, (1996) 32/SUPPL. D (1-23).
ISSN: 0025-7656 CODEN: MDACAP
CY Spain
DT Journal; General Review
FS 031 Arthritis and Rheumatism
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
LA English
SL English
AB Nimesulide is a sulfonanilide nonsteroidal antiinflammatory drug (**NSAID**) whose antiinflammatory, **analgesic** and antipyretic activities have been demostrated in several widely used animal experimental models. The drug has shown potent antiinflammatory, **analgesic** and antipyretic activities when given orally or rectally twice daily at doses of 200 mg/day, although it is a relatively weak inhibitor of physiological synthesis. It acts rather as an inhibitor of oxygen free radicals and hypochlorous acid production and release in neutrophils without affecting their function, and as a potent and specific inhibitor of cyclooxygenase 2, the inducible form of the enzyme present in inflammatory cells. By respecting the activity of cyclooxygenase 1, nimesulide has a much lower risk of gastroduodenal lesions in comparison with most **NSAIDs**, a fact that may produce a significant improvement in the treatment of inflammatory diseases. Cyclooxygenase 2 is most probably involved in inflammatory reactions, in which significant contributions from free oxidants and extracellular proteases are also involved. Nimesulide has a high affinity and selectivity for cyclooxygenase 2, but it also acts as phosphodiesterase type IV inhibitor and has antiprotease effects against neutrophil elastase, cartilage collagenase and stromelysin. It is, thus, a multi-action compound with innovative antiinflammatory properties. In fact, the antiinflammatory efficacy of nimesulide has been demonstrated in clinical trials with patients with a large number of inflammatory conditions, including osteoarticular, otorhinolaryngological, odontological and other **painful** inflammatory processes, and its **analgesic** and antipyretic efficacies have also been controlled in a broad range of clinical situations. Furthermore, double-blind comparative trials have shown nimesulide to be at least as effective as established **NSAIDs** , but with a trend toward a better side effects profile.

I Nimesulide: A selective cyclooxygenase 2 inhibitor antiinflammatory drug.
AU Rabasseda X.
CS Med. Inform./Documentation Dept., Prous Science,Barcelona, Spain
SO Drugs of Today, (1996) 32/5 (365-384).
ISSN: 0025-7656 CODEN: MDACAP
CY Spain
DT Journal; General Review
FS 008 Neurology and Neurosurgery
015 Chest Diseases, Thoracic Surgery and Tuberculosis
029 Clinical Biochemistry
031 Arthritis and Rheumatism
030 Pharmacology
037 Drug Literature Index
LA English
SL English
AB Nimesulide is a sulfonanilide nonsteroidal antiinflammatory drug (**NSAID**) whose antiinflammatory, **analgesic** and antipyretic activities have been demonstrated in several widely used animal experimental models. The drug has shown potent antiinflammatory, **analgesic** and antipyretic activities when given orally or rectally twice daily at doses of 200 mg/day, although it is a relatively weak inhibitor of physiological prostaglandin synthesis. It acts rather as an inhibitor of oxygen free radicals and hypochlorous acid production and release in neutrophils without affecting their function, and as a potent and specific inhibitor of cyclooxygenase 2, the inducible form of the enzyme present in inflammatory cells. By respecting the activity of cyclooxygenase 1, nimesulide has a much lower risk of gastroduodenal lesions in comparison with most **NSAIDs**, a fact that may produce a significant improvement in the treatment of inflammatory diseases. Cyclooxygenase 2 is most probably involved in inflammatory reactions, in which significant contributions from free oxidants and extracellular proteases are also involved. Nimesulide has a high affinity and selectivity for cyclooxygenase 2, but it also acts as phosphodiesterase type IV inhibitor and has antiprotease effects against neutrophil elastase, cartilage collagenase and stromelysin. It is, thus, a multi-action compound with innovative antiinflammatory properties. In fact, the antiinflammatory efficacy of nimesulide has been demonstrated in clinical trials with patients with a large number of inflammatory conditions, including osteoarticular, otorhinolaryngological, odontological and other **painful** inflammatory processes, and its **analgesic** and antipyretic efficacies have also been controlled in a broad range of clinical situations. Furthermore, double-blind, comparative trials have shown nimesulide to be at least as effective as established **NSAIDs**, but with a trend toward a beffer side effects profile.